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27 February 2003

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(54) Title: DNA METHYL TRANSFERASE INHIBITORS

(57) Abstract: This invention provides broad-spectrum antibiotics that are inhibitors of bacterial adenine DNA methyltransferases.

INTERNATIONAL SEARCH REPORT

 International Application No
 PCT/US 01/45129

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07F5/02 C07B61/00 A61K33/22 A61P31/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07F C07B A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, PAJ, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| X | US 3 485 864 A (BIRNBAUM HERMAN A ET AL) 23 December 1969 (1969-12-23) column 8, line 10 - line 20 | 1, 2 |
| X | column 8, line 12 | 2 |
| X | FR 2 071 171 A (RHONE POULENC SA) 17 September 1971 (1971-09-17) page 4 -page 10; examples 1-14 page 2; figure III | 1 |
| X | US 4 713 346 A (FLUECKIGER RUDOLF ET AL) 15 December 1987 (1987-12-15) column 12, line 12; table 1 | 1 |
| | -/- | |



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

23 August 2002

Date of mailing of the international search report

05/09/2002

Name and mailing address of the ISA

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Authorized officer

Bader, K

INTERNATIONAL SEARCH REPORT

II International Application No
PCT/US 01/45129

| C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT | | |
|--|--|-----------------------|
| Category | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
| X | WO 00 44387 A (FUJIKAWA MASAZUMI ;HAYASE YOSHIO (JP); SHIONOGI & CO (JP); IMAZAKI) 3 August 2000 (2000-08-03) page 3 page 4 page 37 | 1,2 |
| X | ----- DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; HOHAUS, EBERHARD ET AL: "Mass spectrometric studies on boron chelates. Part IV. Fragmentations of diphenylboron chelates and monophenylboron chelates - mixture analysis" retrieved from STN Database accession no. 91:174350 XP002209944 abstract & INT. J. MASS SPECTROM. ION PHYS. (1979), 31(1-2), 113-23 , | 1,2 |
| X | ----- DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; YUAN, GUOZHENG ET AL: "Ligand substitution reaction of diarylboron chelates" retrieved from STN Database accession no. 114:207314 XP002209945 abstract & WUJI HUAXUE XUEBAO (1990), 6(3), 314-18 , | 1,2 |
| X | ----- DE 198 29 949 A (BAYER AG) 5 January 2000 (2000-01-05) page 16 -page 21 | 1 |
| X | ----- HOHAUS ET AL: "Boron chelates and boron metal chelates. I. Boron chelates with chelating agents of the pyridine and quinoline series and their N-oxides" CHEMISCHE BERICHTE, VERLAG CHEMIE GMBH. WEINHEIM, DE, vol. 102, 1969, pages 4025-4031, XP002127342 ISSN: 0009-2940 page 4028 ----- -/- | 1 |

INTERNATIONAL SEARCH REPORT

In International Application No.

PCT/US 01/45129

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|--|-----------------------|
| P, X | WO 00 75142 A (WALL MARK ;BAKER STEPHEN J (US); SHAPIRO LUCILLE (US); WAHNON DAPH) 14 December 2000 (2000-12-14) page 5, line 21 - line 24 page 7, line 12 - line 31 page 35, line 1 - line 5 page 42, line 5 -page 46, line 12 page 50, line 13 - line 20 ----- | 1-9 |

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 01/45129

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 4-7 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☒ Claims Nos.: 1, 3-9
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1,3-9

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claim(s) may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons, a meaningful search over the whole breadth of the claim(s) is impossible. Consequently, the search has been restricted to compounds according to claim 1 wherein the substituents are as defined in the two tables depicted on page 18 of the description, line 1- line 5. The compounds according to claim 2 have been searched in full.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/US 01/45129

| Patent document cited in search report | | Publication date | Patent family member(s) | Publication date |
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